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L13 STRUCTURE UPLOADED

=> s 113

SAMPLE SEARCH INITIATED 18:14:23 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS:

272 TO 928

PROJECTED ANSWERS:

0 TO

L14

0 SEA SSS SAM L13

=> s l13 sss full

FULL SEARCH INITIATED 18:14:32 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 631 TO ITERATE

100.0% PROCESSED 631 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

L15

6 SEA SSS FUL L13

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY FULL ESTIMATED COST

SESSION 155.42 494.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -13.87

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FILE COVERS 1907 - 20 Mar 2004 VOL 140 ISS 13 FILE LAST UPDATED: 19 Mar 2004 (20040319/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L16
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AN
      2000:420953 CAPLUS
DN
      133:58620
ΤI
      Preparation of hydroxy diphenyl urea sulfonamides as IL-8 receptor
      antagonists
IN
      Jin, Qi; McCleland, Brent W.; Palovich, Michael R.; Widdowson, Katherine
PA
      Smithkline Beecham Corp., USA
SO
      PCT Int. Appl., 116 pp.
      CODEN: PIXXD2
      Patent '
DT
LA
      English
FAN.CNT 1
      PATENT NO.
                          KIND DATE
                                                   APPLICATION NO.
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                                                   WO 1999-US29940 19991215
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os
     MARPAT 133:58620
GT
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$$\begin{array}{c|c} OH & H & C1 \\ H_2N-SO_2 & H & H & H \\ \hline \\ C1 & & & \\ \end{array}$$

AB The title compds. (I) [wherein R = independently H, (un) substituted amino, OH, alkoxy, acyloxy, aryl(alkyl), cycloalkyl, heteroaryl, heterocyclic(alkyl), etc.; R1 = independently H, halogen, NO2, CN, alkyl, alkenyl, aryl(alkyl)oxy, heteroaryl(alkyl), heterocyclic(alkyl), (un) substituted amino(alkyl), amido(alkyl), etc.; Y = H, halogen, NO2, CN, (halo)alkyl, alkenyl, (halo)alkoxy, azido, alkylsulfonyl(alkyl), aryloxy, heteroaryl, heterocyclic, (un) substituted amino, amido(alkyl), etc.; m = 1-3; n = 1-3] and their pharmaceutically acceptable salts were prepared by reaction of aminophenylsulfonamides with phenylisocyanates. For example, II.Na was formed by condensation of 3-amino-6-chloro-2hydroxybenzenesulfonamide (6-step synthesis given) with 2,3-dichlorophenylisocyanate in DMF (74%), followed by treatment with aqueous NaOH in acetone (91%). Representative invention compds. exhibited pos. inhibitory activity against interleukin-8 (IL-8) and $\text{GRO-}\alpha$ in receptor binding assays with IC50 < 30 μM . I are useful in the treatment of disease states mediated by the chemokine, Interleukin-8 (IL-8).

Ι

IT 276700-90-4P 276700-91-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of hydroxy di-Ph urea sulfonamide IL-8 receptor antagonists by condensation of aminophenylsulfonamides with phenylisocyanates)

RN 276700-90-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[3-[[(2-bromophenyl)amino]carbonyl]amino]-6-chloro-2-hydroxyphenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 276700-91-5 CAPLUS

10/370895

CN 1-Piperazinecarboxylic acid, 4-[[6-chloro-3-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-2-hydroxyphenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 276700-93-7P 276700-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

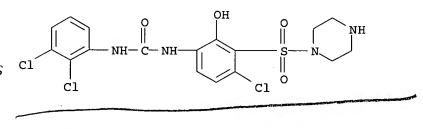
(preparation of hydroxy di-Ph urea sulfonamide IL-8 receptor antagonists by condensation of aminophenylsulfonamides with phenylisocyanates)

RN 276700-93-7 CAPLUS

CN Piperazine, 1-[[6-chloro-3-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-2-hydroxyphenyl]sulfonyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 276700-92-6 CMF C17 H17 C13 N4 O4 S



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 276700-95-9 CAPLUS

CN Piperazine, 1-[[3-[[(2-bromophenyl)amino]carbonyl]amino]-6-chloro-2hydroxyphenyl]sulfonyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME) CM 1

CRN 276700-94-8

CMF C17 H18 Br Cl N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> d his

L10

(FILE 'HOME' ENTERED AT 16:59:38 ON 20 MAR 2004)

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L1 STRUCTURE UPLOADED

L2 50 S L1

L3 STRUCTURE UPLOADED

L4 11 S L3

L5 STRUCTURE UPLOADED

L6 8 S L5

L7 STRUCTURE UPLOADED

L8 7 S L7

L9 253 S L7 SSS FULL

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L14 0 S L13

L15 6 S L13 SSS FULL

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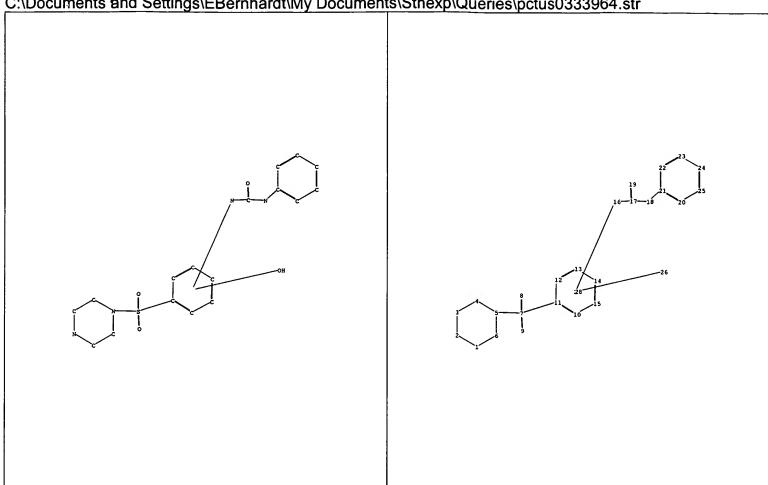
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L17 0 L15

=>

L13

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chain nodes:

7 8 9 16 17 18 19 26

ring nodes:

1 2 3 4 5 6 10 11 12 13 14 15 20 21 22 23 24 25

chain bonds:

5-7 7-8 7-9 7-11 16-17 17-18 17-19 18-21

ring bonds:

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15 20-21 20-25 21-22 22-23 23-24 24-25

exact/norm bonds:

1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-8 7-9 7-11 16-17 17-18 17-19 18-21

normalized bonds:

10-11 10-15 11-12 12-13 13-14 14-15 20-21 20-25 21-22 22-23 23-24 24-25

isolated ring systems:

containing 1:

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLAS\$17:CLAS\$18:CLAS\$19:CLAS\$20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLAS\$27:CLAS\$28:CLAS\$